CLAIMS

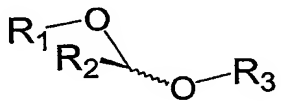
WHAT IS CLAIMED IS:

1. A composition comprising a compound conjugated to an adduct of a dialkoxy substance and a guanidinylating reagent.

2. The composition of claim 1, wherein the dialkoxy substance is an acetal or a ketal.

3. The composition of claim 1, wherein the guanidinylating reagent comprises a guanidine or alkylguanidine moiety.

4. The composition of claim 1, wherein the dialkoxy substance comprises at least one cyclic acetal having the formula:



wherein R_1, R_2, and/or R_3 groups comprise two or more 5- or 6-membered rings which are linked together by at least one acetal functional group and wherein R_1-R_2, and R_3 are the carbon atoms of two separate ring systems.

5. The composition of claim 2, wherein the cyclic acetal is a glycoside.

6. The composition of claim 5, wherein the glycoside is an aminoglycoside.

7. The composition of claim 1, wherein the beneficial compound in the conjugate is covalently bonded to the adduct.

8. The composition of claim 1, wherein the dialokoxy substance is selected from the group consisting of amikacin, gentamicin, kanamycin, neomycin, netilmicin, O-2,6-diamino-2,6-dideoxy-beta-L-idopyranosyl-(1 to 3)-O-beta-D-ribofuranosyl-(1 to 5)-O-[2-

amino-2-deoxy-alpha-D-glucopyranosyl-(1 to 4)]-2-deoxystreptamine, streptomycin, tobramycin, ouabain, deslanoside, digoxin, digitoxin, lantoside and strophanthin.

9. The composition of claim 1, wherein the beneficial compound is selected from the group consisting of a nucleic acid, nucleoside, protein, peptide, amino acid residue, lipid, carbohydrate, synthetic organic compound, metal, vitamin, small molecule, dye, isotope, antibody, toxin and ligand.

10. The composition of claim 1, wherein the beneficial compound comprises a nucleoside, wherein the nucleoside is a reverse transcriptase inhibitor.

11. The composition of claim 10, wherein the reverse transcriptase inhibitor is selected from the group consisting of 3'-azido-3'-deoxythymidine, 2',3'-dideoxyinosine and 2',3'-dideoxycytidine.

12. The composition of claim 10, wherein the reverse transcriptase inhibitor is conjugated to an aminoglycoside.

13. The composition of claim 12, wherein the aminoglycoside is selected from the group consisting of amikacin, gentamicin, kanamycin, neomycin, netilmicin, O-2,6-diamino-2,6-dideoxy-beta-L-idopyranosyl-(1 to 3)-O-beta-D-ribofuranosyl-(1 to 5)-O-[2-amino-2-deoxy-alpha-D-glucopyranosyl-(1 to 4)]-2-deoxystreptamine, streptomycin and tobramycin.

14. A method of increasing the cellular uptake of a beneficial compound, comprising:

(a) modifying a dialkoxy substance by treating the dialokoxy compound with a guanidinylating reagent to form an adduct;

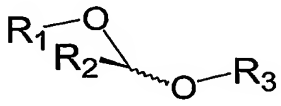
(b) conjugating the adduct with the beneficial compound to form a conjugate; and

(c) delivering the conjugate to a cell.

15. The method of claim 14, wherein the dialkoxy substance is an acetal or a ketal.

16. The method of claim 14, wherein the guanidinylating reagent comprises a guanidine or alkylguanidine moiety.

17. The method of claim 14, wherein the dialkoxy substance comprises at least one cyclic acetal having the formula:



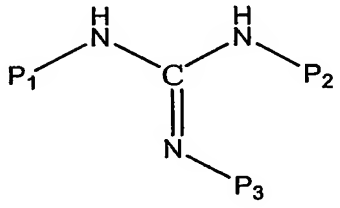
wherein R_1, R_2, and/or R_3 groups comprise two or more 5- or 6-membered rings which are linked together by at least one acetal functional group and wherein R_1-R_2, and R_3 are the carbon atoms of two separate ring systems.

18. The method of claim 14, wherein the cyclic acetal is a glycoside.

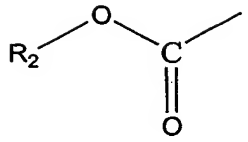
19. The method of claim 18, wherein the glycoside is an aminoglycoside.

20. The method of claim 18 or 19, wherein in treating the glycoside, the guanidinylating reagent is reacted with at least one primary or secondary alcohol of the glycoside to produce a guanidinoglycoside.

21. The method of claim 20, wherein the guanidinylating reagent has the general formula:



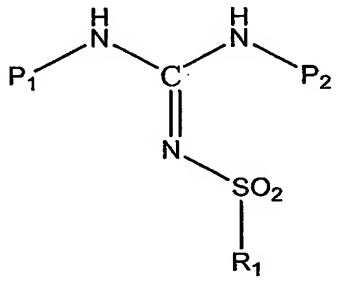
wherein each of P_1, P_2 and P_3 is, independently, the same or different protecting group, each protecting group having the general structure:



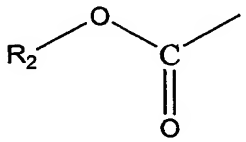
wherein R_2 is a substituted or unsubstituted alkyl, aryl, or heterocyclic group.

22. The method of claim 18 or 19, wherein in treating the glycoside, the guanidinylating reagent is reacted with at least one primary or secondary amine of the glycoside to produce a guanidinoglycoside.

23. The method of claim 22, wherein the guanidinylating reagent has the general formula:



wherein R1 is trifuoromethyl group, and each of P_1, P_2 and P_3 is, independently, the same or different protecting group, each protecting group having the general structure:



wherein R_2 is a substituted or unsubstituted alkyl, aryl, or heterocyclic group.

24. The method of claim 14, wherein the beneficial compound in the conjugate is covalently bonded to the adduct.

25. The method of claim 14, wherein the the dialokoxy compound is selected from the group consisting of amikacin, gentamicin, kanamycin, neomycin, netilmicin, O-2,6-

diamino-2,6-dideoxy-beta-L-idopyranosyl-(1 to 3)-O-beta-D-ribofuranosyl-(1 to 5)-O-[2-amino-2-deoxy-alpha-D-glucopyranosyl-(1 to 4)]-2-deoxystreptamine, streptomycin, tobramycin, ouabain, deslanoside, digoxin, digitoxin, lantoside and strophanthin.

26. The method of claim 14, wherein the beneficial compound is selected from the group consisting of a nucleic acid, nucleoside, protein, peptide, amino acid residue, lipid, carbohydrate, synthetic organic compound, metal, vitamin, small molecule, dye, isotope, antibody, toxin and ligand.

27. The method of claim 14, wherein the beneficial compound comprises a nucleoside, wherein the nucleoside is a reverse transcriptase inhibitor.

28. The method of claim 27, wherein the reverse transcriptase inhibitor is selected from the group consisting of 3'-azido-3'-deoxythymidine, 2',3'-dideoxyinosine and 2',3'-dideoxycytidine.

29. The method of claim 27, wherein the reverse transcriptase inhibitor is conjugated to an aminoglycoside.

30. The method of claim 29, wherein the aminoglycoside is selected from the group consisting of amikacin, gentamicin, kanamycin, neomycin, netilmicin, O-2,6-diamino-2,6-dideoxy-beta-L-idopyranosyl-(1 to 3)-O-beta-D-ribofuranosyl-(1 to 5)-O-[2-amino-2-deoxy-alpha-D-glucopyranosyl-(1 to 4)]-2-deoxystreptamine, streptomycin and tobramycin.